

<C-10512810 12/08/05

10/511, 506

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY  
NEWS 4 OCT 03 MATHDI removed from STN  
NEWS 5 OCT 04 CA/Capplus-Canadian Intellectual Property Office (CIPO) added  
to core patent offices  
NEWS 6 OCT 13 New CAS Information Use Policies Effective October 17, 2005  
NEWS 7 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download  
of Capplus documents for use in third-party analysis and  
visualization tools  
NEWS 8 OCT 27 Free KWIC format extended in full-text databases  
NEWS 9 OCT 27 DIOGENES content streamlined  
NEWS 10 OCT 27 EPFULL enhanced with additional content  
NEWS 11 NOV 14 CA/Capplus - Expanded coverage of German academic research  
NEWS 12 NOV 30 REGISTRY/ZREGISTRY on STN(R) enhanced with experimental  
spectral property data  
NEWS 13 DEC 05 CASREACT(R) - Over 10 million reactions available  
  
NEWS EXPRESS DECEMBER 02 CURRENT VERSION FOR WINDOWS IS V8.01,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 02 DECEMBER 2005.  
V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT  
<http://download.cas.org/express/v8.0-Discover/>  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 06:31:36 ON 12 DEC 2005

10/511506

<C-10512010 12/08/05

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 06:31:42 ON 12 DEC 2005

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2005 HIGHEST RN 869698-41-9

DICTIONARY FILE UPDATES: 9 DEC 2005 HIGHEST RN 869698-41-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

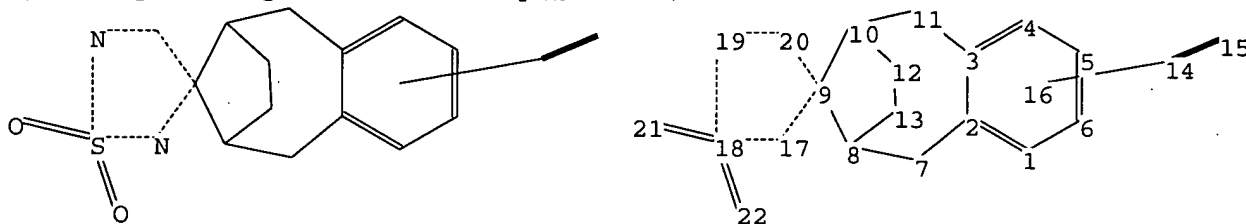
Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10511506.str



chain nodes :  
14 15 21 22  
ring nodes :

<C 10512810 12/08/05

1 2 3 4 5 6 7 8 9 10 11 12 13 17 18 19 20

chain bonds :

14-15 18-21 18-22

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 8-13 9-10 9-17 9-20 10-11  
10-12 12-13 17-18 18-19 19-20

exact/norm bonds :

2-7 3-11 7-8 8-9 8-13 9-10 9-17 9-20 10-11 10-12 12-13 17-18 18-19  
18-21 18-22 19-20

exact bonds :

14-15

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

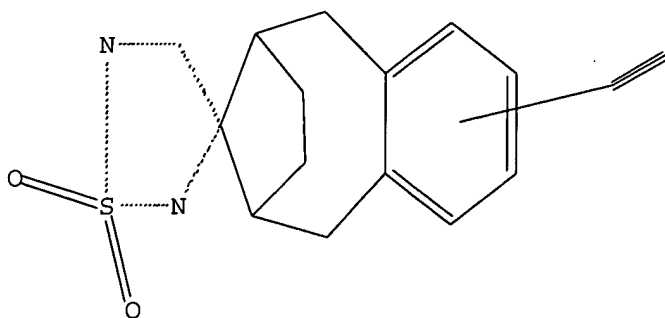
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom  
20:Atom 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 06:32:03 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

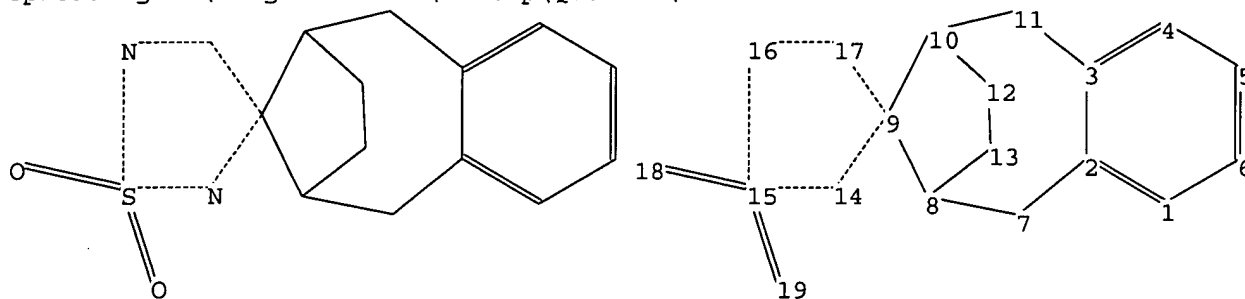
L2 0 SEA SSS SAM L1

10/511, 506

<C 10512810 12/08/05

=>

Uploading C:\Program Files\Stnexp\Queries\105115061.str



chain nodes :

18 19

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17

chain bonds :

15-18 15-19

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-11 4-5 5-6 7-8 8-9 8-13 9-10 9-14 9-17 10-11  
10-12 12-13 14-15 15-16 16-17

exact/norm bonds :

2-7 3-11 7-8 8-9 8-13 9-10 9-14 9-17 10-11 10-12 12-13 14-15 15-16  
15-18 15-19 16-17

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

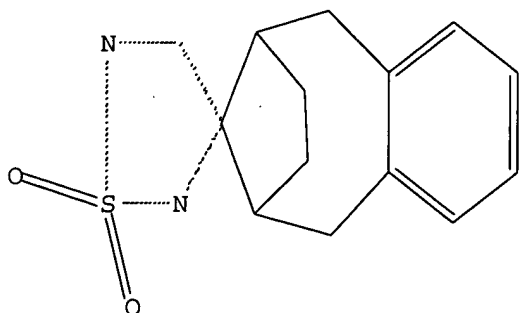
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR



Structure attributes must be viewed using STN Express query preparation.

<C 10512810 12/08/05

=> s 13

SAMPLE SEARCH INITIATED 06:33:58 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 17 TO ITERATE

100.0% PROCESSED 17 ITERATIONS 16 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 93 TO 587  
PROJECTED ANSWERS: 80 TO 560

L4 16 SEA SSS SAM L3

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.72	1.93

FILE 'CAPLUS' ENTERED AT 06:34:03 ON 12 DEC 2005  
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FILE COVERS 1907 - 12 Dec 2005 VOL 143 ISS 25  
FILE LAST UPDATED: 11 Dec 2005 (20051211/ED)

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<http://www.cas.org/infopolicy.html>

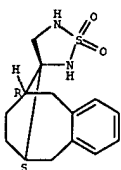
=> s 14

L5 5 L4

=> d ibib abs hitstr tot

App 15 out

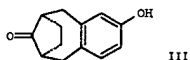
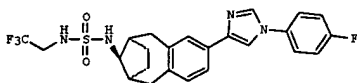
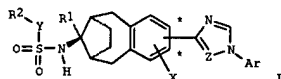
Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

PATENT NO.		KIND		DATE		APPLICATION NO.		DATE									
WO 2005030731		A1		20050407		WO 2004-GB3973		20040916									
W:		AE	AG	AL	AM	AT	AU	AZ	BA	BB	BG	BR	EW	BY	BZ	CA	CH
		CN	CO	CR	CU	CZ	DE	DK	EE	EG	EE	EG	ES	FI	GB	KZ	LD
		GE	GH	GM	HR	HU	ID	IL	IN	JP	KE	KG	KP	KR	KG	LC	LG
		LK	LR	LS	LT	LU	LV	MA	MD	MG	MK	MN	MM	KX	MZ	NA	NI
		NO	NZ	OM	PG	PH	PL	PT	RO	RU	SC	SD	SE	SG	SK	SL	SY
		TJ	TM	TN	TR	TZ	UA	UG	US	UZ	VE	CN	VN	YU	ZA	ZH	ZW
RW:		GH	GM	GH	GM	HR	HU	ID	IL	IN	JP	KE	KG	KP	KR	KG	LC
		AZ	BY	BG	KZ	MD	RU	TJ	TM	UZ	BE	BG	CH	CY	CZ	DE	DK
		EE	ES	FI	FR	GB	GR	HU	IE	IT	LU	MC	NL	PL	PT	RO	SE
		SI	SK	TR	BF	BJ	CF	CG	CI	CM	GA	GH	GN	GQ	GW	ML	MR
		SN	TD	TG													
PRIORITY APPLM. INFO.:						GB 2003-22340				A 20030924							
						GB 2003-22341				A 20030924							
OTHER SOURCE(S):						MARPAT 142:373471											
GI																	

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

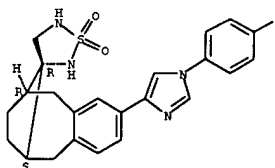


given) With 4-bromo-1-(4-fluorophenyl)-1H-imidazole followed by conversion of the ketone to a tert-butylsulfonylimino derivative which undergoes subsequent methylation and sulfonamide formation with 2,2,2-trifluoroethylsulfamoyl chloride. The activity of the compound was evaluated in inhibition assays and revealed that all compounds of the invention had ED50 values of less than 50 nM and exhibited good oral bioavailability and/or brain penetration. I as  $\gamma$ -secretase inhibitors should prove useful in the treatment of Alzheimer's disease.

RN 849549-56-0 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine],  
2-[1-(4-fluorophenyl)-1H-imidazol-4-yl]-5,6,7,8,9,10-hexahydro-,  
1',1'-dioxide. (3YR.65.9R) (9CI) (CA INDEX NAME)

**Absolute stereochemistry.**

L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<C 10512810 12/08/05

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:390243 CAPLUS

DOCUMENT NUMBER: 140:406804

TITLE: A preparation of cyclic sulfamide derivatives, useful as  $\gamma$ -secretase inhibitors

INVENTOR(S): Collins, Ian James; Hannam, Joanne Clare; Harrison, Timothy; Madin, Andrew; Ridgill, Mark Peter

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039800	A1	20040513	WO 2003-GB4728	20031031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

CA 2503884 AA 20040513 CA 2003-2503884 20031031

PRIORITY APPLN. INFO.: GB 2002-25475 A 20021101

WO 2003-GB4728 W 20031031

OTHER SOURCE(S): MARPAT 140:406804

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to cyclic sulfamide derivs. of formula I [wherein: the pyrazole group is attached at 2- or 3-position of the benzene ring; X = H, OH, Cl-4 alkoxy, Cl, or F; Ar is a Ph or 6-membered heteroaryl, either of which bears 0-3 substituents independently selected from halogen, CF<sub>3</sub>, or NO<sub>2</sub>, etc.; R<sub>1</sub> is a hydrocarbon group of 1-5 carbon atoms which is optionally substituted with up to 3 halogen atoms; R<sub>2</sub> is H or a hydrocarbon group of 1-10 carbon atoms which is optionally substituted with up to 7 halogen atoms; when X is H, R<sub>2</sub> is not 2,2,2-trifluoroethyl] as  $\gamma$ -secretase inhibitors, useful for treatment or prevention of Alzheimer's disease. For treating or preventing Alzheimer's disease, a suitable dosage level of the invented compds. is about 0.05 to 50 mg/kg of body weight per day (ED50 < 100 nM). For instance, cyclic sulfamide derivative

II was prepared from the prepared intermediate III and allylamine in DMSO at 100 °C in a sealed tube with a yield of 84%.

IT 689255-69-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:892764 CAPLUS

DOCUMENT NUMBER: 139:381490

TITLE: Preparation of spirocyclic [1,2,5]thiadiazole derivatives as  $\gamma$ -secretase inhibitors for treatment of Alzheimer's disease

INVENTOR(S): Collins, Ian James; Cooper, Laura Catherine; Harrison, Timothy; Keown, Linda Elizabeth; Madin, Andrew; Ridgill, Mark Peter

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093252	A1	20031113	WO 2003-GB1763	20030424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

CA 2484159 AA 20031113 CA 2003-2484159 20030424

EP 1503998 A1 20050209 EP 2003-722769 20030424

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2005182109 A1 20050818 US 2003-512810 20030424

PRIORITY APPLN. INFO.: GB 2002-9596 A 20020501

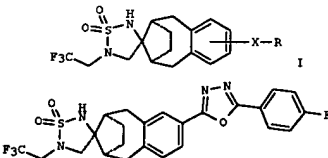
GB 2002-23873 A 20021014

US 2002-424608P P 20021107

WO 2003-GB1763 W 20030424

OTHER SOURCE(S): MARPAT 139:381490

GI



AB The title compds. I [wherein X = an (un)substituted bivalent pyrazole, imidazole, triazole, oxazole, isoxazole, thiazole, isothiazole, thiadiazole, or 1,3,4-oxadiazole; R = CF<sub>3</sub>, (un)substituted aliphatic

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

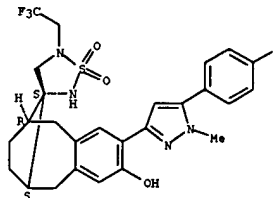
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic sulfamides for inhibition of  $\gamma$ -secretase)

RN 689255-69-4 CAPLUS

CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine]-2-ol, 3-[5-(4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6R,9S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

hydrocarbyl, heterocyclyl, Ph, heteroaryl, or amino) and pharmaceutically acceptable salts thereof are prepd. I are inhibitors of the processing of APP by  $\gamma$ -secretase, and are useful in the treatment or prevention of Alzheimer's disease (no data). For example, the compd. II was prepd. in a multi-step synthesis. Some of compd. I have ED50 of <1 nM against  $\gamma$ -secretase.

IT 623576-27-2P 623576-52-3P 623576-53-4P

623576-65-8P 623576-95-4P 623577-09-3P

623577-12-8P

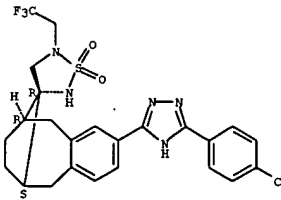
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thiadiazole derivs. as  $\gamma$ -secretase inhibitors for treatment of Alzheimer's disease)

RN 623576-27-2 CAPLUS

CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-chlorophenyl)-1H-1,2,4-triazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

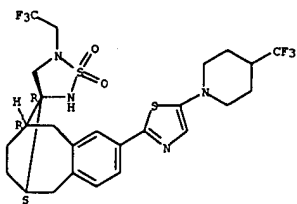


RN 623576-52-3 CAPLUS

CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-2-[5-(4-(trifluoromethyl)-1-piperidinyl)-2-thiazolyl]-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

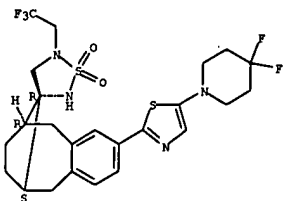
Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 623576-53-4 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4,4-difluoro-1-piperidinyl)-2-thiazolyl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

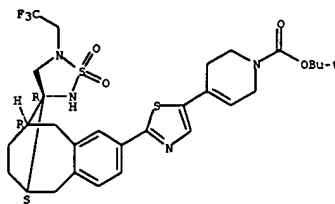
Absolute stereochemistry.



RN 623576-65-8 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(3-chloro-4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

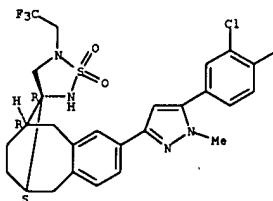
Absolute stereochemistry. Rotation (-).

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 623576-95-4 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(3-chloro-4-fluorophenyl)-1-methyl-1H-pyrazol-3-yl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

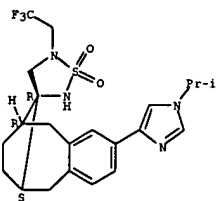
Absolute stereochemistry.



RN 623577-09-3 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 5,6,7,8,9,10-hexahydro-2-[1-(1-methylethyl)-1H-imidazol-4-yl]-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

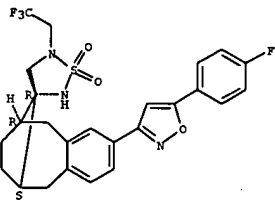
Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 623577-12-8 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(4-fluorophenyl)-3-isoxazolyl]-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

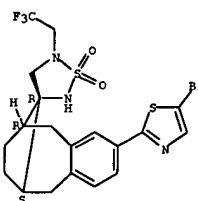
Absolute stereochemistry.



IT 623577-66-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of thiadiazole derivs. as  $\gamma$ -secretase inhibitors for treatment of Alzheimer's disease)  
RN 623577-66-2 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-[1,2,5]thiadiazolidine], 2-[5-(5-bromo-2-thiazolyl)-5,6,7,8,9,10-hexahydro-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxide, (3'R,6S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



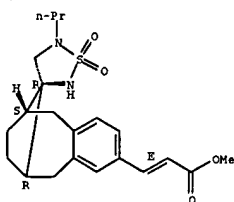
<C 10512810 12/08/05

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN  
ACCESSION NUMBER: 2002:353420 CAPLUS  
DOCUMENT NUMBER: 136:369505  
TITLE: Synthesis of sulfonamido-substituted bridged bicycloalkyl derivatives as  $\gamma$ -secretase inhibitors  
INVENTOR(S): Collins, Ian James; Hannam, Joanne Claire; Harrison, Timothy; Lewis, Stephen John; Madin, Andrew; Sparey, Timothy Jason; Williams, Brian John  
PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK  
SOURCE: PCT Int. Appl., 151 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036555	A1	20020510	WO 2001-GB4817	20011029
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2427206	AA	20020510	CA 2001-2427206	20011029
AU 2002010747	A5	20020515	AU 2002-10747	20011029
EP 1334085	A1	20030813	EP 2001-978652	20011029
EP 1334085	B1	20050824		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513108	T2	20040430	JP 2002-539315	20011029
AT 302753	E	20050915	AT 2001-978652	20011029
US 2004049038	A1	20040311	US 2003-415751	20030501
PRIORITY APPLN. INFO.: GB 2000-26827 A 20001102				
GB 2001-22685 A 20010920				
WO 2001-GB4817 W 20011029				
OTHER SOURCE(S): MARPAT 136:369505				
GI				

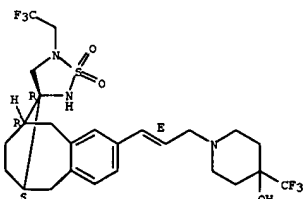
L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)  
propylspiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidin]-2-yl]-methyl ester, (2E)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry as shown.



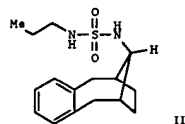
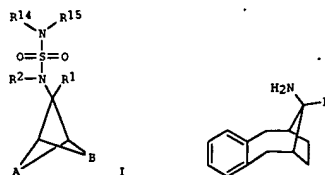
IT 423168-37-0P 423168-50-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl deriva. as  $\gamma$ -secretase inhibitors)  
RN 423168-37-0 CAPLUS  
CN 4-Piperidinol, 1-[(2E)-3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-(2,2,2-trifluoroethyl)spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidin]-2-yl]-2-propenyl]-4-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry as shown.



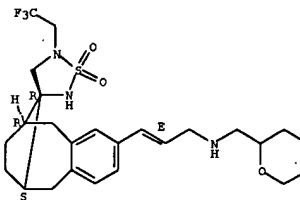
RN 423168-50-7 CAPLUS  
CN 2H-Pyran-2-methanamine, N-[(2E)-3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-(2,2,2-trifluoroethyl)spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidin]-2-yl]-2-propenyl]tetrahydro-, rel- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)



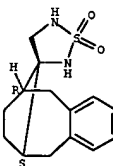
AB Title compds. I [A, B = (CXY)p, (CXY)qCY=CXY(CXY)r, (CXY)xNR13(CXY)y, etc.; X = halo, R9, OR9, SR9, S(O)1-2R10, OSO2R9, N(R9)2, COR9, CO2R9, etc.; Y = H, alkyl or X, Y together = O, S, N-OR11, CHR11; provided neither A nor B comprises more than one CXY moiety which is other than CH2; p = 1-6; q, r, x, y = 0-2] provided that at least one of A and B comprises a chain of 2 or more atoms, such that the ring completed by A and B contains at least 5 atoms; R1 = H, alk(en)yl or R1 and R15 together may complete a 5-, 6- or 7-membered cyclic sulfamide; R2 = H, Cl, alkyl, aryl, aryl-alkyl, cycloalkyl, acyl, etc.; R3 = H or R10 or two R9 groups together with a nitrogen atom to which they are mutually attached may complete a pyrrolidine, piperidine, piperazine, etc.; R10 = alkyl, perfluoroalkyl, cycloalkyl, etc.; R11 = H, alkyl, etc.; R14 = H, alkyl, etc.; R15 = H, alkyl or R15 and R1 together complete a 5-, 6- or 7-membered cyclic sulfamide] were prepared. Over 150 synthetic examples were disclosed. For instance, prior art amine II was sulfonated with catechol sulfate and the intermediate treated with n-PrNH2 (dioxane, 80°C, 1 h) to give III. I are inhibitors of  $\gamma$ -secretase and are cytotoxic with EC50 < 10  $\mu$ M for human app695. Comps. of the invention are useful in the treatment of and/or prevention of Alzheimer's disease.  
IT 423168-00-7P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug; synthesis of sulfonamido-substituted bridged bicycloalkyl deriva. as  $\gamma$ -secretase inhibitors)  
RN 423168-00-7 CAPLUS  
CN 2-Propanoic acid, 3-[(3'R,6S,9R)-5,6,7,8,9,10-hexahydro-1',1'-dioxido-5'-

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)  
Relative stereochemistry.  
Double bond geometry as shown.



IT 423168-73-4P 423169-04-4P 423169-11-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; synthesis of sulfonamido-substituted bridged bicycloalkyl deriva. as  $\gamma$ -secretase inhibitors)  
RN 423168-73-4 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine], 5,6,7,8,9,10-hexahydro-, 1',1'-dioxido, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

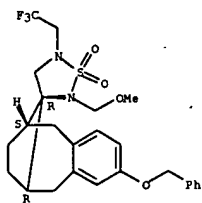


RN 423169-04-4 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctene-11,3'-(1,2,5)thiadiazolidine], 5,6,7,8,9,10-hexahydro-2'-(methoxymethyl)-2-(phenylmethoxy)-5'-(2,2,2-trifluoroethyl)-, 1',1'-dioxido, (3'R,6S,9R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

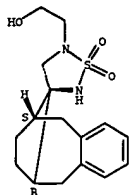
<C 10512810 12/08/05

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 423169-11-3 CAPLUS  
CN Spiro[6,9-methanobenzocyclooctane-11,3'-[1,2,5]thiadiazolidine]-5'-ethanol, 5,6,7,8,9,10-hexahydro-, 1',1'-dioxide, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

<C 10512810 12/08/05

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

25.15

27.08

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.65

-3.65

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